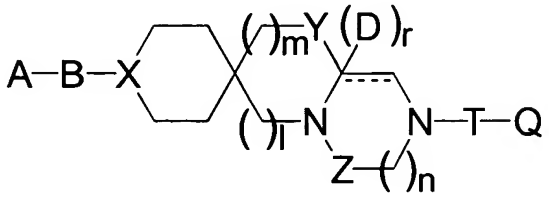
AMENDMENTS TO THE CLAIMS

1-18. (Cancelled)

19. (new) A compound represented by formula (I) or its pharmaceutically acceptable salt



(I)

A1

wherein A is a hydrogen atom, or

a group selected from (1) a saturated or unsaturated five- or six-membered cyclic hydrocarbon group, or a saturated or unsaturated five- or six-membered heterocyclic group, (2) an amino group, and (3) an imidoyl group (wherein the groups of (1) to (3) are optionally substituted);

B is a single bond, a carbonyl group, $-S(O)_x-$, or an optionally substituted C_{1-2} alkylene group;

D is a hydrogen atom, $-CO-R_5$ (wherein R_5 is a hydrogen atom or a substituent), or an optionally substituted C_{1-6} alkyl group;

X is a nitrogen atom or a methine group optionally substituted with a group A'-B'- (wherein A' represents a group selected from those defined for A, and B' represents a group selected from those defined for B);

Y is an oxygen atom, $-S(O)_y-$, or an optionally substituted imino group (-NH-);

Z is a methylene group, a carbonyl group, or a thiocarbonyl group;

T is $-S(O)_z-$, a carbonyl group, or an optionally substituted C_{1-2} alkylene group;

Q is a hydrocarbon group or a heterocyclic group, which are optionally substituted;

l, m, n, x, y, and z are independently an integer selected from 0, 1 and 2 with the proviso that l and m are not simultaneously 0; and r is an integer of 0 or 1; and

the three rings (the ring containing X, the ring containing Y, and the ring containing Z) are independently optionally substituted; and the bond indicated by the broken line and the solid line in the ring containing Z is a single bond or a double bond (when r is 0).

20. (new) At least one compound selected from the compounds as described below, or its (+) or (-) optical isomer, or its pharmaceutically acceptable salt:

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

(-)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(hydroxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(ethoxycarbonylmethoxymethyl)-7-oxa-1'-(4-pyridyl) spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

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6-(acetoxymethyl)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-1'-(4-pyrimidinyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-((E)-4-chlorostyrylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(2-methoxyethoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(ethoxycarbonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one methanesulfone;

(-)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(ethoxycarbonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

(-)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(methoxycarbonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

(-)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(isopropoxycarbonyl)-7-oxa-1'-(4-pyridyl) spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

(-)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-6-(propoxycarbonyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

(-)-6-(allyloxycarbonyl)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

(-)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(2-methoxyethoxycarbonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

(-)-1,4-diaza-6-(t-butoxycarbonyl)-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

ammonium 1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-2-oxo-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-6-carboxylate;

(+)-ammonium 1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-2-oxo-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-6-carboxylate;

(-)-ammonium 1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-2-oxo-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidine]-6-carboxylate;

4-[1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(methoxymethyl)-7-oxa-2-oxospiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-1'-yl]pyridine 1-oxide;

A1 1'-acetimidoyl-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxaspiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

6-(aminomethyl)-1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(ethoxycarbonylaminomethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(morpholinomethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-methyl-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

ammonium 4-[1,4-diaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-oxa-2-oxo-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-6-yl]butylate;

1,4,7-triaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(methoxymethyl)-7-methyl-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(methoxymethyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

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(-)-1,4,7-triaza-4-(6-chloronaphthalen-2-ylsulfonyl)-6-(methoxymethyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(7-chloro-2H-benzopyran-3-ylsulfonyl)-(6-methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(7-chloro-2H-benzopyran-3-ylmethyl)-(6-methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chlorobenzothiophen-2-ylsulfonyl)-(6-methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chlorobenzothiophen-2-ylmethyl)-(6-methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-chlorobenzofuran-2-ylsulfonyl)-(6-methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-chlorobenzofuran-2-ylmethyl)-(6-methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chlorobenzofuran-2-ylsulfonyl)-(6-methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

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1,4-diaza-4-(2H-benzopyran-3-sulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(7-chloro-2H-benzopyran-3-sulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(benzo[b]thiophen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-fluorobenzo[b]thiophen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-chlorobenzo[b]thiophen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chloro-5-fluorobenzo[b]thiophen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-chlorobenzo[b]furan-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-bromobenzo[b]furan-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

A1 1,4,7-triaza-4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(5-chlorobenzo[b]furan-2-ylsulfonyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-7-methyl-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(5-chlorobenzo[b]furan-2-ylsulfonyl)-7-methyl-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(7-chloro-2H-benzopyran-3-sulfonyl)-6-(methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-6-(methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-chlorobenzo[b]furan-2-ylsulfonyl)-6-(methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-6-(methoxymethyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(5-chlorobenzo[b]furan-2-ylsulfonyl)-6-(methoxymethyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

A'

1,4,7-triaza-4-(6-chlorobenzo[b]thiophen-2-ylsulfonyl)-6-(methoxymethyl)-7-methyl-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(5-chlorobenzo[b]furan-2-ylsulfonyl)-6-(methoxymethyl)-7-methyl-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(6-chlorobenzo[b]furan-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(indol-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-[2-(5-chlorothiophen-2-yl)ethenesulfonyl]-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-[2-(5-chlorothiophen-2-yl)ethenesulfonyl]-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-[2-(5-chlorothiophen-2-yl)ethenesulfonyl]-7-methyl-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-[2-(5-chlorothiophen-2-yl)ethenesulfonyl]-6-(methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-[2-(5-chlorothiophen-2-yl)ethenesulfonyl]-6-(methoxymethyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

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1,4,7-triaza-4-[2-(5-chlorothiophen-2-yl)ethenesulfonyl]-6-(methoxymethyl)-7-methyl-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(naphthalen-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(2-chloroquinolin-6-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4-diaza-4-(5-ethynylbenzo[b]furan-2-ylsulfonyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(6-chloronaphthalen-2-ylsulfonyl)-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one;

1,4,7-triaza-4-(6-chloronaphthalen-2-ylsulfonyl)-7-methyl-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one, and

1,4-diaza-4-(2-chloroquinolin-6-ylsulfonyl)-6-(methoxymethyl)-7-oxa-1'-(4-pyridyl)spiro[bicyclo[4.3.0]nonane-8,4'-piperidin]-2-one.

21. (new) A compound according to claim 19, or its pharmaceutically acceptable salt thereof, wherein Q is;

A1 1) a C_{1-6} alkyl group (most preferably a C_{1-2} alkyl group) or a C_{2-6} alkenyl group (most preferably a C_2 alkenyl group) substituted with a substituent selected from substituent (a-1): a C_{6-14} aryl group and substituent (b-1): an aromatic group selected from (i) five- or six-membered monocyclic aromatic heterocyclic groups and (ii) eight- to twelve-membered fused aromatic heterocyclic groups, which contain 1 to 4 heteroatoms selected from nitrogen atom, oxygen atom and sulfur atom in addition to the carbon atoms; or

2) a C_{6-14} aryl group which is optionally substituted with 1 to 2 halogen atoms; or a heterocyclic group which is (i) a five- or six-membered, monocyclic, aromatic heterocyclic group, (ii) an eight- to twelve-membered, fused aromatic heterocyclic group, or (iii) a three- to eight-membered, saturated or unsaturated, non-aromatic heterocyclic group, which contains 1 to 4 heteroatoms selected from nitrogen atom, oxygen atom and sulfur atom in addition to the carbon atoms, and wherein the carbon atoms are optionally mono- or di-substituted with a halogen atom,

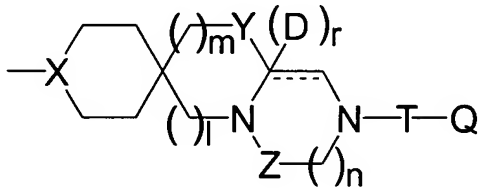
wherein the aromatic ring in the above substituent 1) is optionally substituted with 1 to 3 substituents selected from halogen atoms, trifluoromethyl, cyano, hydroxyl, amino, nitro, carboxyl, carbamoyl, C_{1-6} alkyl, C_{1-6} alkoxy, mono/di C_{1-6} alkylamino, di C_{1-6} alkylcarbamoyl, C_{1-6} alkoxycarbonyl, N-C_{1-6} alkylcarbamoyl, N,N-di 1-6 alkylcarbamoyl and C_{2-6} alkenoylamino,

and the aromatic ring in the substituents 2) is also optionally mono- or di-substituted at arbitrary position with the substituent selected from C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, halogen atom, halogenated C_{1-6} alkyl, cyano, amino, hydroxyl, carbamoyl, C_{1-6} alkoxy, C_{2-6} alkenyloxy, C_{2-6} alkynyloxy, C_{1-6} alkylthio, C_{1-6} alkylsulfinyl, C_{1-6} alkylsulfonyl, mono/di C_{1-6} alkylamino, C_{1-6} alkoxycarbonyl, C_{2-6} alkanoyl, C_{2-6} alkanoylamino, hydroxy-C_{1-6} alkyl, C_{1-6} alkoxy-C_{1-6} alkyl, carboxy-C_{1-6} alkyl, C_{1-6} alkoxycarbonyl-C_{1-6} alkyl, carbamoyl-C_{1-6} alkyl, N-(C_{1-6})alkylcarbamoyl-C_{1-6} alkyl, N,N-di C_{1-6} alkylcarbamoyl-C_{1-6} alkyl, phenyl, phenoxy, phenylthio, phenylsulfinyl, phenylsulfonyl, benzyl, and benzoyl, and the aromatic ring in these substituents may be substituted with 1 to 3 substituents selected from halogen atoms, trifluoromethyl, cyano, hydroxyl, amino, nitro, carboxyl, carbamoyl, C_{1-6} alkyl, C_{1-6} alkoxy, mono/di C_{1-6} alkylamino, di-C_{1-6} alkylcarbamoyl, C_{1-6} alkoxycarbonyl, N-C_{1-6} alkylcarbamoyl, N,N-di C_{1-6} alkylcarbamoyl, and C_{2-6} alkenoylamino.

22. (new) A pharmaceutical composition characterized by that the composition contains a compound represented by formula (I) or its pharmaceutically acceptable salt as an effective component.

23. (new) A FXa inhibitor characterized by that the inhibitor contains a compound represented by formula (I) or its pharmaceutically acceptable salt as an effective component.

24. (new) A compound exhibiting inhibitory activity for FXa which has IC_{50} of up to 1 μM and a partial structure represented by formula (I'') in its molecule, or its pharmaceutically acceptable salt

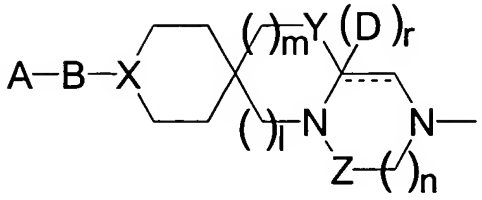


(I'')

wherein -X= is -CH= or -N=; the three rings (the ring containing X, the ring containing Y, and the ring containing Z) are independently optionally substituted; Y, Z, D, T, Q, l, m, n, and r are as defined for the formula (I).

25. (new) A compound exhibiting inhibitory activity for FXa which has IC_{50} of up to 1 μM and a partial structure represented by

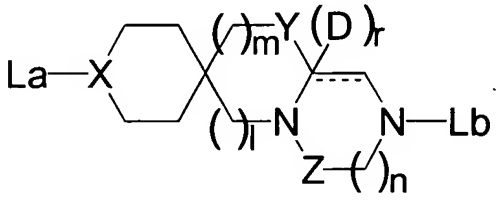
formula (I''') in its molecule, or its pharmaceutically acceptable salt



(I''')

wherein X is methine group or nitrogen atom; the three rings (the ring containing X, the ring containing Y, and the ring containing Z) are independently optionally substituted; A, B, Y, Z, D, l, m, n, and r are as defined for formula (I).

26. (new) A compound exhibiting inhibitory activity for FXa represented by the following formula (I'), or its pharmaceutically acceptable salt



(I')

wherein D is hydrogen atom, -CO-R_5 (wherein R_5 is hydrogen atom or a substituent), or an optionally substituted C_{1-6} alkyl group;

X is methine group or a nitrogen atom;

Y is oxygen atom, -S(O)$_y$-, or a optionally substituted imino group (-NH-);

the three rings (the ring containing X, the ring containing Y, and the ring containing Z) are independently optionally substituted;

Z is methylene group, a carbonyl group, or a thiocarbonyl group;

l, m, n, and y are independently an integer selected from 0, 1 and 2 with the proviso that l and m are not simultaneously 0; and r is an integer of 0 or 1;

A1

the bond indicated by the broken line and the solid line is a single bond or a double bond (when r is 0); and

La and Lb are groups involved in the binding of the compound of formula (I') with FXa, and

La represents a group which has a basic moiety which associates with S3 pocket of FXa [a space formed at least by amino acid residues Trp215, Phe174, Tyr99, Thr98, Glu97, and Lys96], and

Lb represents a group which has a hydrophobic moiety which binds to S1 pocket of FXa [a space formed at least by amino acid residues Val213, Ser214, Trp215, Gly216, Glu217, Gly218, Cys220, Asp189, Ala190, Cys191, Gln192, Gly193, Asp194, Ser195, Gly226, Ile227, and Tyr228], and which interacts with Tyr228 side chain in the S1 pocket but which does not covalently bind to Ser195 in active center (wherein amino acid No. of the FXa is indicated by chymotrypsin No. used in Protein Data Bank (PDB), Registration ID: 1FAX (J. Biol. Chem. 1996 Nov. 22; 271(47): 29988-92)),provided

that the compound of formula (I') is the one wherein, when the hydrophobic moiety of the Lb interacts with the Tyr228 in the binding of the compound of formula (I') to the FXa, the distance between the centroid (the coordinate obtained by calculating the average for each of X, Y, and Z coordinates of all heavy atoms included in the partial structure; hereinafter simply referred to as centroid) of the hydrophobic moiety of the Lb and the centroid of the Tyr228 side chain is within the range of 6.9 to 7.9 Å, and A1 the compound is also a FXa inhibitory compound which further satisfied at least one of the following conditions 1) to 3).

1) When the compound binds to the FXa, the hydrophobic moiety of the Lb does not either partly or entirely undergo an electrostatic interaction with the Asp189 of the S1 pocket.

2) When the compound binds to the FXa, position of the centroid of the hydrophobic moiety of the Lb satisfies in the S1 pocket at least two of the following conditions that such position is:

i) at a distance of 3.6 to 4.6 Å from the Cys191 backbone C atom;

ii) at a distance of 6.2 to 7.2 Å from the Ser195 backbone C atom;

iii) at a distance of 5.5 to 6.5 Å from the Ser214 backbone C atom;

iv) at a distance of 3.6 to 4.6 Å from the Trp215 backbone C atom;

v) at a distance of 6.7 to 7.7 Å from the Glu217 backbone C atom; and

vi) at a distance of 5.8 to 6.8 Å from the Cys220 backbone C atom.

3) When the compound binds to the FXa, position of the centroid of the partial structure including the basic moiety of the La satisfies in the S3 pocket at least two of the following conditions that such position is:

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i) at a distance of 4.1 to 5.5 Å from the Tyr99 side chain centroid;

ii) at a distance of 3.1 to 4.5 Å from the Phe174 side chain centroid;

iii) at a distance of 4.1 to 5.5 Å from the Trp215 side chain centroid;

iv) at a distance of 4.1 to 6.3 Å from the Lys96 backbone carbonyl oxygen atom; and

v) at a distance of 3.5 to 5.1 Å from the Glu97 backbone carbonyl oxygen atom).

27. (new) A pharmaceutical composition characterized by that the composition contains at least one compound or its

pharmaceutically acceptable salt of claims 24 to 26 as an effective component.

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28. (new) A method for inhibiting FXa characterized by that the method comprises administration of the pharmaceutical composition of claim 27 to a mammal which requires inhibition of the FXa.

29. (new) Crystal of a complex between FXa and at least one compound or its salt of claims 24 to 26.